### (19) World Intellectual Property Organization

International Bureau



## 

(43) International Publication Date 28 October 2004 (28.10.2004)

**PCT** 

# (10) International Publication Number WO 2004/091482 A3

(51) International Patent Classification<sup>7</sup>: A61K 31/403, C07D 209/58

(21) International Application Number:

PCT/US2004/010641

(22) International Filing Date: 7 April 2004 (07.04.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/460,860

7 April 2003 (07.04.2003) US

- (71) Applicant (for all designated States except US): GLAXO GROUP LIMITED [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford, Middlesex UB6 ONN (GB).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): BUSCH-PE-TERSEN, Jakob [DK/US]; 709 Swedeland Road, King of Prussia, PA 19406 (US). LAINE, Dramane, I. [FR/US]; 709 Swedeland Road, King of Prussia, PA 19406 (US). PALOVICH, Michael, R. [US/US]; 709 Swedeland Road, King of Prussia, PA 19406 (US). MCCLELAND, Brent, W. [US/US]; 709 Swedeland Road, King of Prussia, PA 19406 (US).
- (74) Agents: SIMON, Soma, G. et al.; Glaxosmithkline, Corporate Intellectual Property, UW 2220, 709 Swedeland Road, P.O. Box 1539, King of Prussia, PA 19406-0939 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,

GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### **Declarations under Rule 4.17:**

- as to applicant's entitlement to apply for and be gran. a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD. TG)
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

#### Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 23 December 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: M3 MUSCARINIC ACETYLCHOLINE RECEPTOR ANTAGONISTS

(57) Abstract: Novel thiazole aniline compounds, pharmaceutical compositions, methods of making them and used therof in treating M<sub>3</sub> muscarinic acetylcholine receptor mediated diseases are disclosed

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/10641

A. CLASSIFICATION OF SUBJECT MATTER				
IPC(7) : A 61K 31/403 ; C 07D 209/58				
US CL : 514/411; 548/434				
According to International Patent Classification (IPC) or to both national classification and IPC  B. FIELDS SEARCHED				
Minimum documentation searched (classification system followed by classification symbols) U.S.: 514/411; 548/434				
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched				
•				
Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) STN databases Online; FILE REG; FILE CAPLUS; EAST; WEST				
C. DOCUMENTS CONSIDERED TO BE RELEVANT				
Category * Citation of document, with indication, where a		Relevant to claim No.		
A STN Online Accession No.: 2004:354949, abstract of heterocyclocarboxamides and tricyclic amines as fun 2004035589.		2		
Further documents are listed in the continuation of Box C.	See patent family annex.	,		
Special categories of cited documents:	"T" later document published after the inte			
"A" document defining the general state of the art which is not considered to be of particular relevance	date and not in conflict with the applic principle or theory underlying the inve			
"E" earlier application or patent published on or after the international filing date	"X" document of particular relevance; the considered novel or cannot be conside when the document is taken alone	claimed invention cannot be red to involve an inventive step		
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y" document of particular relevance; the considered to involve an inventive step combined with one or more other such being obvious to a person skilled in the	when the document is a documents, such combination		
"O" document referring to an oral disclosure, use, exhibition or other means				
"P" document published prior to the international filing date but later than the priority date claimed	"&" document member of the same patent			
Date of the actual completion of the international search	Date of mailing of the international search report  1 2 0 C 1 2004			
20 September 2004 (20.09.2004)				
Name and mailing address of the ISA/US  Mail Stop PCT, Attn: ISA/US  Commissioner for Patents  P.O. Box 1450  Alexandria, Virginia 22313-1450  Facsimile No. (703) 305-3230	Authorized officer Famet I. Coppins  Telephone No. 571-272-1600	uce for		

Form PCT/ISA/210 (second sheet) (January 2004)

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/10641

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)			
This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:			
1.	Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:		
2.	Claims Nos.: 1 and 3-7 because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:  Please See Continuation Sheet		
3.	Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).		
Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)			
This Internat	ional Searching Authority found multiple inventions in this international application, as follows:		
1.	As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.  As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:		
4. Remark on	No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:  Protest  The additional search fees were accompanied by the applicant's protest.  No protest accompanied the payment of additional search fees.		

Form PCT/ISA/210 (continuation of first sheet(2)) (January 2004)

Γ	International application No.	
INTERNATIONAL SEARCH REPORT	PCT/US04/10641	
1		
	'	
	·	
Continuation of Box II Reason2: In these claims, the numerous variables (e.g. R1-R3, G1, G2, X, Y, L, Ar, etc), t	their voluminous complex meanings, their seemingly	
endless permutations and combinations make it virtually impossible to determine the	he full scope and complete meaning of the claimed	
subject matter. As presented, the claimed subject matter cannot be regarded as be	ing a clear and concise description for which protection	
is sought and as such the listed claims do not comply with the requirements of PC meaningful search on same. A search will be made on the first discernable invention	on in the claims, which is the first named compound of	
claim 2.	· · · · · · · · · · · · · · · · · · ·	
1		
•		
•		
	·	
	•	